



(1,2,3)triazine, wherein the ring fusion is at a carbon-carbon double bond of Ar, Ar-alkyl, ArO-, ArSO<sub>2</sub>-, ArSO-, ArS-, ArSO<sub>2</sub>NH-, ArNH, (N-Ar)(N-alkyl)N-, ArC(O)-, ArC(O)NH-, ArNH-C(O)-, and (N-Ar)(N-alkyl)N-C(O)-, or together R<sup>a</sup> and R<sup>b</sup> comprise methylenedioxy-; or

2. together with their ring carbons form a C<sub>6</sub>- or C<sub>10</sub>- aryl fused ring; or

3. together with their ring carbons form a C<sub>5</sub>-C<sub>7</sub> fused cycloalkyl ring having up to two double bonds including a fused double bond of the containing group, which cycloalkyl ring can be substituted by one or more of the group consisting of alkyl, alkoxycarbonyl, amino, aminocarbonyl, carboxy, fluoro, or oxo; or

4. together with their ring carbons form a fused 5- or 6-membered heteroaryl ring, wherein the 6-membered heteroaryl ring contains one to three atoms of N, and the 5-membered heteroaryl ring contains from one to three atoms of N or one atom O or S and zero to two atoms of N; or

5. together with their ring carbons form a fused five to eight membered second heterocycle, wherein the fused heterocycle consists of ring atoms selected from the group consisting of carbon, nitrogen, oxygen, sulfur, and S(O)<sub>n</sub>, wherein n is 1 or 2;

e. R<sup>d</sup> is alkyl, alkenyl, hydrogen, or Ar;

f. R<sup>e</sup> is

1. oxo (when  $\Delta^{2,3}$  is not present), or (when  $\Delta^{2,3}$  is present) hydrogen, alkyl, alkylthio, hydrogen, mercapto, amino, amino(C<sub>1</sub>-C<sub>5</sub>)alkyl, amino(C<sub>6</sub> or C<sub>10</sub>)aryl, or wherein the amino of the last three groups can be substituted with

(a) Ar,

(b) Ar-Z-, Ar-alkyl-Z-, Ar-Z-alkyl, Ar-amino-Z-, Ar-aminoalkyl-Z-, or Ar-oxyalkyl-Z-, wherein Z is a carbonyl or -SO<sub>2</sub>-,

(c) formyl or alkanoyl,

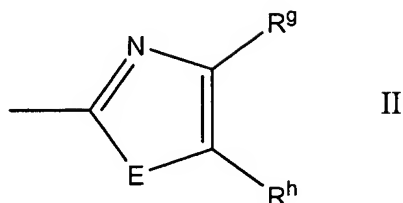
2. -NHC(O)(CH<sub>2</sub>)<sub>n</sub>-D-R<sup>e</sup>R<sup>f</sup>, wherein D is oxygen, sulfur or nitrogen, wherein where D is nitrogen n is 0, 1 or 2, but when D is oxygen or sulfur n=1 or 2, and R<sup>f</sup> is present only when D is nitrogen,

wherein

(a) R<sup>e</sup> is

(1) Ar,

(2) a group of the formula II,



wherein E is sulfur, oxygen, or N-R<sup>i</sup>, and R<sup>g</sup>, R<sup>h</sup> and R<sup>i</sup> are independently the same as R<sup>a</sup>, R<sup>b</sup> and R<sup>d</sup>, respectively,

(3) a C<sub>3</sub>-C<sub>8</sub> cycloalkyl ring having up to one double bond with the proviso that the carbon linking the cycloalkyl ring to D is saturated, which cycloalkyl ring can be substituted by one or more alkyl-, alkoxycarbonyl-, amino-, aminocarbonyl-, carboxy-, fluoro-, or oxo-substituents;

(4) a 5- or 6-membered heteroaryl ring containing at least one and up to three atoms of N for the 6-membered heteroaryl rings and from one to three atoms of N or one atom of O or S and zero to two atoms of N for the 5-membered heteroaryl rings;

(5) hydrogen, (C<sub>2</sub>-C<sub>6</sub>)hydroxyalkyl, alkanoylalkyl, alkyl, alkoxycarbonylalkyl, alkenyl, carboxyalkyl (which alkyl can be substituted with alkoxyimino), alkoxycarbonyl, a group Ar<sup>Φ</sup> which is C<sub>6</sub>- or C<sub>10</sub>- aryl or a 5- or 6-membered, or 9- or 10-membered heteroaryl (wherein the heteroatom is one oxygen, one sulfur or one nitrogen) or Ar<sup>Φ</sup>-alkyl; and

(b) R<sup>f</sup> is independently hydrogen, (C<sub>2</sub>-C<sub>6</sub>)hydroxyalkyl, alkanoylalkyl, alkyl, alkoxycarbonylalkyl, alkenyl, carboxyalkyl (which alkyl can be substituted with alkoxyimino), alkoxycarbonyl, Ar<sup>Φ</sup>, or Ar<sup>Φ</sup>-alkyl;

wherein aryl, Ar, or Ar<sup>Φ</sup> can be substituted with, in addition to any substitutions specifically noted one or more substituents selected from the group of acylamino, acyloxyalkyl, alkanoyl, alkanoylalkyl, alkenyl, alkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, alkylamino, (C<sub>1</sub>-C<sub>3</sub>)alkylenedioxy, alkylsulfonyl, alkylsulfinyl, ω-alkylenesulfonic acid, alkylthio, allyl, amino, ArC(O)-, ArC(O)NH-, carboxy, carboxyalkyl, cycloalkyl, dialkylamino, halo, trifluoromethyl, hydroxy, (C<sub>2</sub>-C<sub>6</sub>)hydroxyalkyl, mercapto, nitro,

ArO-, Ar-, Ar-alkyl-, sulfamoyl, sulfonic acid, 1-pyrrolidinyl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl-, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl, azetidin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperazin-1-yl, piperidin-1-yl; and

heterocycles, except those of Ar and Ar<sup>Φ</sup>, can be substituted with in addition to any substitutions specifically noted one or more substituents selected from acylamino, alkanoyl, alkoxy, alkoxy carbonyl, alkoxy carbonyl alkyl, alkyl, (C<sub>1</sub> to C<sub>3</sub>)alkylenedioxy, alkylamino, alkylsulfonyl, alkylsulfinyl, alkylthio, amino, ArC(O)-, ArO-, Ar-, Ar-alkyl, carboxy, dialkylamino, fluoro, fluoroalkyl, difluoroalkyl, hydroxy, mercapto, oxo, sulfamoyl, trifluoromethyl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl and 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl; or a pharmaceutically acceptable salt of said compounds.

2. (Original) The method of claim 1, comprising administering an intraocular pressure decreasing amount or ocular accommodation improving amount of a compound of the formula I, wherein the bond between carbons 4 and 5 is a single bond.

3. (Cancelled)

4. (Original) The method of claim 1, comprising administering an intraocular pressure decreasing amount or ocular accommodation improving amount of a compound of the formula I, wherein J is S or O, and R<sup>c</sup> is hydrogen, oxo, alkyl, amino, amino(C<sub>1</sub>-C<sub>5</sub>)alkyl or aminophenyl, wherein the amino of the latter three groups can be substituted with

(a) Ar;

(b) Ar-Z-, Ar-alkyl-Z-, Ar-Z-alkyl, Ar-amino-Z-, Ar-aminoalkyl-Z-, or Ar-oxyalkyl-Z-; or

(c) formyl or alkanoyl.

5. (Original) The method of claim 1, administering an intraocular pressure decreasing amount or ocular accommodation improving amount of a compound of the formula I, wherein J is S, and R<sup>c</sup> is hydrogen, oxo, alkyl, amino, amino(C<sub>1</sub>-C<sub>5</sub>)alkyl or aminophenyl, wherein the amino of the latter three groups can be substituted with

(a) Ar;

- (b) Ar-Z-, Ar-alkyl-Z-, Ar-Z-alkyl, Ar-amino-Z-, Ar-aminoalkyl-Z-, or Ar-oxyalkyl-Z-; or  
 (c) formyl or alkanoyl.

6. (Original) The method of claim 1, administering an intraocular pressure decreasing amount or ocular accommodation improving amount of a compound of the formula I, wherein the compound is selected from the group consisting of thiazole, 2-amino-4-chlorobenzothiazole, 2,4,5-trimethylthiazole, 2-(3,5-dimethylphenoxy)-N-thiazol-2-yl)acetamide-, 2-isobutylthiazole, (4-fluorophenyl)thiazolin-2-ylamine, 2-furyl-N-[4-(6-methylbenzothiazol-2-yl)phenyl]carboxamide, and 5,5-dimethyl-2-(2-naphthylamino)-4,5,6-trihydrobenzothiazol-7-one.

7. (Previously Presented) The method of claim 1, comprising administering an intraocular pressure decreasing amount or ocular accommodation improving amount of a compound of the formula I, wherein

R<sup>a</sup> and R<sup>b</sup> are

1. independently selected from hydrogen, acylamino, alkanoyl, alkanoylalkyl, alkoxy, alkoxy carbonyl, alkoxy carbonylalkyl, alkyl, alkylamino, amino,  $\omega$ -alkylenesulfonic acid, carbamoyl, carboxy, carboxyalkyl (which alkyl can be substituted with alkyloxyimino), cycloalkyl, dialkylamino, halo, hydroxy, (C<sub>2</sub>-C<sub>6</sub>)hydroxyalkyl, mercapto, nitro, sulfamoyl, sulfonic acid, alkylsulfonyl, alkylsulfinyl, alkylthio, trifluoromethyl, morpholin-4-yl, thiomorpholin-4-yl, piperidin-1-yl, 4-[C<sub>6</sub> or C<sub>10</sub>] arylpiperidin-1-yl, 4-[C<sub>6</sub> or C<sub>10</sub>] arylpiperazin-1-yl, Ar, wherein, consistent with the rules of aromaticity, Ar is C<sub>6</sub> or C<sub>10</sub> aryl or a 5- or 6-membered heteroaryl ring, wherein the 6-membered heteroaryl ring contains one to three atoms of N, and the 5-membered heteroaryl ring contains from one to three atoms of N or one atom of O or S and zero to two atoms of N, each heteroaryl ring can be fused to a substituted benzene, pyridine, pyrimidine, pyridazine, or (1,2,3)triazine, wherein the ring fusion is at a carbon-carbon double bond of Ar, Ar-alkyl, ArO-, ArSO<sub>2</sub>-, ArSO-, ArS-, ArSO<sub>2</sub>NH-, ArNH, (N-Ar)(N-alkyl)N-, ArC(O)-, ArC(O)NH-, ArNH-C(O)-, and (N-Ar)(N-alkyl)N-C(O)-; or
2. together with their ring carbons form a C<sub>6</sub>- or C<sub>10</sub>- aryl fused ring; or

3. together with their ring carbons form a C<sub>5</sub>-C<sub>7</sub> fused cycloalkyl ring having no double bonds except a fused double bond of the formula I or IA ring, which cycloalkyl ring can be substituted by one or more of the group consisting of alkyl, amino, aminocarbonyl, carboxy, fluoro, or oxo, where multiple substituents are located on different carbon atoms of the cycloalkyl ring, except in the case of alkyl and fluoro substituents, which can be located on the same or different carbon atoms; or
  4. together with their ring carbons form a fused 5- or 6-membered heteroaryl ring, wherein the 6-membered heteroaryl ring contains one to three atoms of N, and the 5-membered heteroaryl ring contains from one to three atoms of N or one atom of O or S and zero to two atoms of N; or
  5. together with their ring carbons form a fused five to six membered second heterocycle, wherein the fused heterocycle consists of ring atoms selected from the group consisting of carbon, nitrogen, oxygen, sulfur, and S(O)<sub>n</sub>, wherein n is 1 or 2,
- wherein aryl, Ar, or Ar<sup>Φ</sup> can be substituted with, in addition to any substitutions specifically noted one or more substituents selected from the group of alkyl, amino, dialkylamino, 1-pyrrolidinyl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl, azetidin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperazin-1-yl, piperidin-1-yl; and
- heterocycles, except those of Ar and Ar<sup>Φ</sup>, can be substituted with in addition to any substitutions specifically noted one or more substituents selected from acylamino, alkanoyl, alkoxy, alkoxy carbonyl, alkoxy carbonyl alkyl, alkyl, (C<sub>1</sub> or C<sub>3</sub>)alkylenedioxy, alkylamino, alkylsulfonyl, alkylsulfinyl, alkylthio, amino, ArC(O)-, ArO-, Ar-, Ar-alkyl, carboxy, dialkylamino, fluoro, fluoroalkyl, difluoroalkyl, hydroxy, mercapto, oxo, sulfamoyl, trifluoromethyl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl and 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl, wherein multiple substituents are located on different atoms of the heterocyclic ring, with the proviso that alkyl, alkoxy carbonyl, and fluoro substituents can be substituted on the same carbon atom of the heterocyclic ring.